

(19) World Intellectual Property
Organization
International Bureau



(43) International Publication Date
10 February 2005 (10.02.2005)

PCT

(10) International Publication Number
WO 2005/012285 A1

(51) International Patent Classification⁷: **C07D 401/00**,
401/04

(21) International Application Number:
PCT/IN2004/000233

(22) International Filing Date: 5 August 2004 (05.08.2004)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
638/CHE/2003 5 August 2003 (05.08.2003) IN
639/CHE/2003 5 August 2003 (05.08.2003) IN

(71) Applicant (for all designated States except US): **MATRIX LABORATORIES LTD** [IN/IN]; 1-1-151/1, IV Floor, Sairam Towers, Alexander Road, Secunderabad 500 003, Andhra Pradesh (IN).

(72) Inventors; and

(75) Inventors/Applicants (for US only): **CHAVA, Satya-narayana** [IN/IN]; Plot No 40, Parkview Enclave,

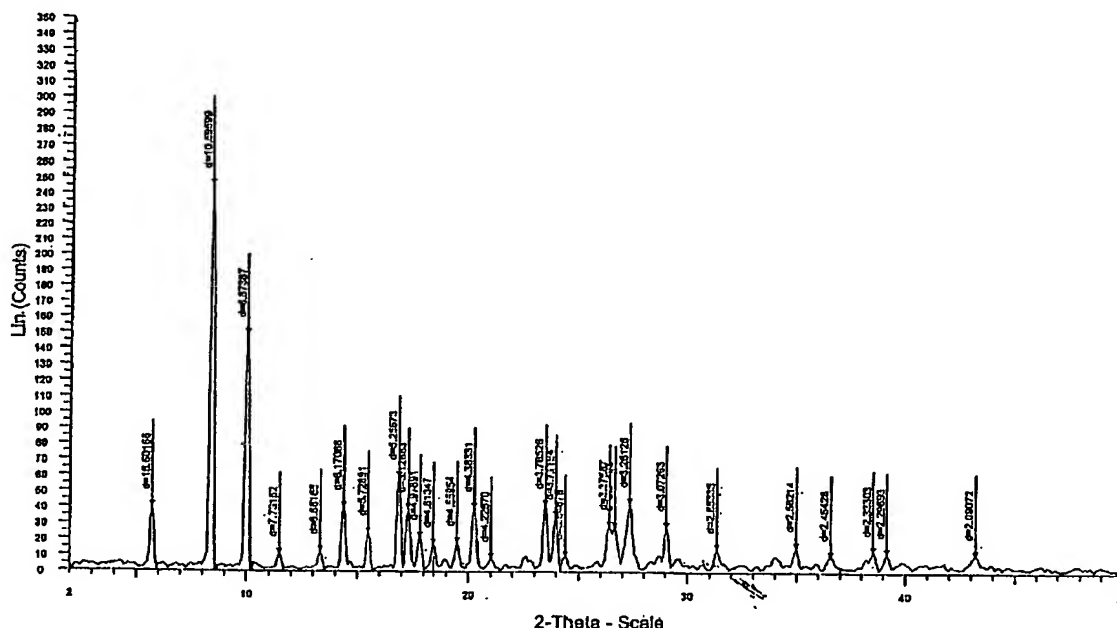
Manovikas Nagar, Hasmathper Road, Secunderabad 500 009, Andhra Pradesh (IN). **GORANTLA, Seeta, Ramanjaneyulu** [IN/IN]; Plot No-12, Sai Ansh Arcade, Durgavihar Colony, Tirumalgerry, Secunderabad 500 015, Andhra Pradesh (IN). **VASIREDDY, Umamaheswara, Rao** [IN/IN]; Flat No- 101, Rukmini Apartments, Yusufguda Checkpost, Hyderabad-500 045, Andhra Pradesh (IN). **DAMMALAPATI, Venkata, Lakshmi, Narasimharao** [IN/IN]; Flat No-201, Shobhana Towers, Road No 3, Vivekananda Nagar Colony, Kukatpally, Hyderabad 500 072, Andhra Pradesh (IN).

(74) Agent: **RAO, Ramana, V.**; Matrix Laboratories Ltd, Plot No. 38, Phase-IV, IDA, Jeedimetla, Hyderabad 500 055, Andhra Pradesh (IN).

(81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM,

[Continued on next page]

(54) Title: AN IMPROVED PROCESS FOR THE PREPARATION OF MOXIFLOXACIN HYDROCHLORIDE



(57) Abstract: The present invention relates to an improved process for the preparation of Moxifloxacin hydrochloride from the ethyl 1-cyclopropyl 6,7-difluoro-8-methoxy-4-oxo-1,4-dihydro-3-quinolinecarboxylate through a novel intermediate (4aS-Cis)-1-cyclopropyl-7-(2,8-diazabicyclo [4.3.0]non-8-yl)-6-fluoro-8-methoxy-4-oxo-1,4-dihydro-3-quinoline carboxylic acid-0³, 0⁴)bis (acyloxy-0) borate.



TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

- (84) **Designated States** (*unless otherwise indicated, for every kind of regional protection available*): ARIPO (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

Declarations under Rule 4.17:

- *as to applicant's entitlement to apply for and be granted a patent (Rule 4.17(ii)) for the following designations* AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ,

TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, ARIPO patent (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG)

- *as to the applicant's entitlement to claim the priority of the earlier application (Rule 4.17(iii)) for the following designation* US
- *of inventorship (Rule 4.17(iv)) for US only*

Published:

- *with international search report*
- *with amended claims*

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.